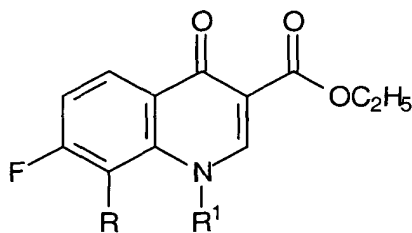
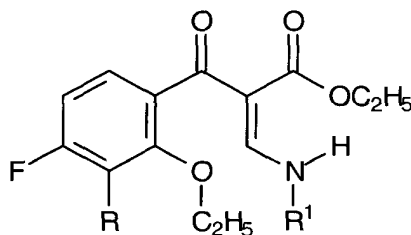


## WHAT IS CLAIMED IS:

1. A process for preparing a quinolone antibiotic intermediate having the formula:



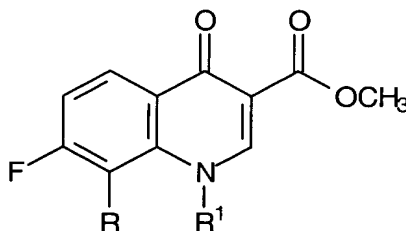
wherein R is C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> fluoroalkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, methoxy, chloro, or bromo; R<sup>1</sup> is a unit selected from the group consisting of C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>2</sub>-C<sub>3</sub> alkenyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, and phenyl, each of which can be substituted by one or more fluorine atoms; said process comprising the step of cyclizing an admixture of quinolone precursors, said admixture comprising a 2-ethoxy substituted intermediate having the formula:



in the presence of a silylating agent.

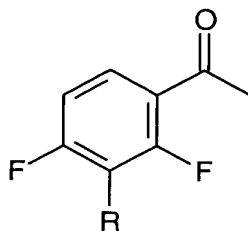
2. A process according to Claim 1 wherein R is -OCH<sub>3</sub>.
3. A process according to Claim 1 wherein R is -CH<sub>3</sub>, -CH<sub>2</sub>F, -CHF<sub>2</sub>, and -CF<sub>3</sub>.
4. A process according to Claim 1 wherein R is -Cl.
5. A process according to Claim 1 wherein R is -CH<sub>2</sub>CH=CH<sub>2</sub>.
6. A process according to Claim 1 wherein said cyclization is conducted in the presence of a solvent selected from the group consisting of methylene chloride, dichloromethane, hexamethylphosphoramide, tetrahydrofuran, benzene, toluene, alkanes, and mixtures thereof.

7. A process according to Claim 1 wherein said silylating agent is selected from the group consisting of chlorotrimethylsilane, N,O-bis(trimethyl-silyl)acetamide, N,O-bis(trimethylsilyl)trifluoroacetamide, bis(trimethylsilyl)urea, hexamethyltrisilazane, N-methyl-N-trimethylsilyltrifluoroacetamide, 1-trimethylsilyl-imidazole, trimethylsilyl trifluoromethanesulfonate, *tert*-butyldimethylchlorosilane, 1-(*tert*-butyldimethylsilyl)imidazole, N-*tert*-butyldimethyl-N-methyltrifluoroacetamide, *tert*-butyldimethylsilyltrifluoromethanesulfonate, *tert*-butylphenylchlorosilane, *tert*-butyl-methoxyphenylbromosilane, dimethylphenylchlorosilane, triethylchlorosilane, trimethyl-silyl trifluoromethanesulfonate, and triphenylchlorosilane.
8. A process according to Claim 7 wherein said silylating agents is N,O-bis(trimethylsilyl)acetamide.
9. A process according to Claim 1 wherein R<sup>1</sup> cyclopropyl, methyl, ethyl, and benzyl.
10. A process according to Claim 1 wherein said cyclization is conducted by refluxing in the presence of a solvent.
11. A process for preparing a quinolone antibiotic intermediate having the formula:

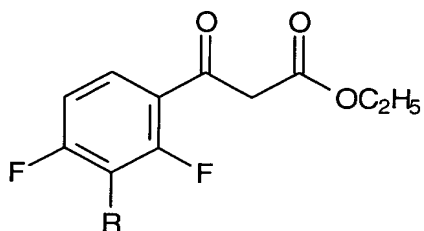


wherein R is C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> fluoroalkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, methoxy, chloro, or bromo; R<sup>1</sup> is a unit selected from the group consisting of C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>2</sub>-C<sub>3</sub> alkenyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, and phenyl, each of which can be substituted by one or more fluorine atoms; said process comprising the steps of:

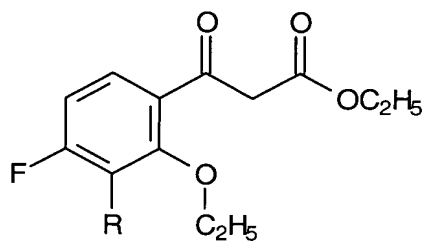
a) reacting an acetophenone having the formula:



with diethylcarbonate in the presence of a base to form an admixture of 4-fluoro  $\beta$ -ketoesters having the formula:

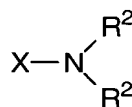


; and

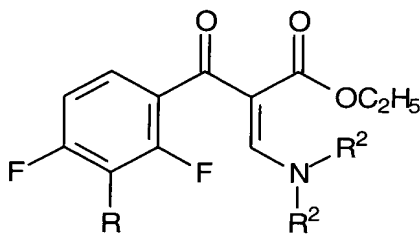


;

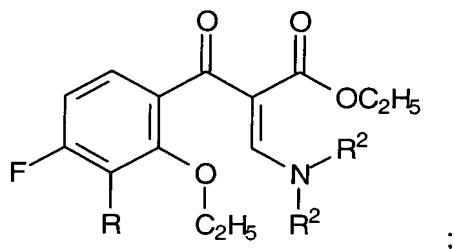
- b) reacting said admixture with a Knoevenagel Reaction adduct having the formula:



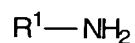
wherein  $R^2$  is  $C_1$ - $C_4$  linear or branched alkyl, phenyl, and mixtures thereof; X is an aldehyde unit or an aldehyde unit equivalent; to form an admixture of imine intermediates having the formula:



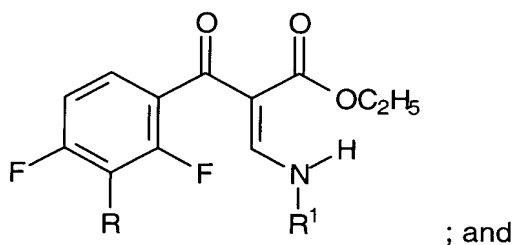
; and



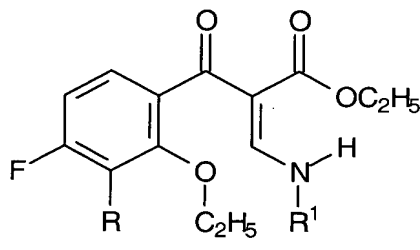
- c) reacting said imine intermediate admixture with an amine having the formula:



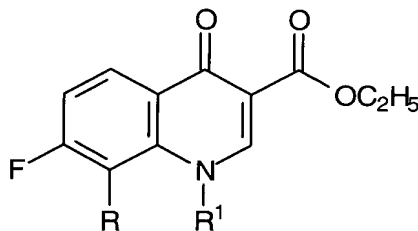
to form an admixture of quinolone intermediates having the formula:



; and

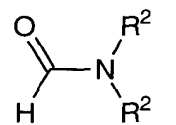


- d) cyclizing said quinolone intermediate admixture in the presence of a silylating agent to form said quinolone antibiotic intermediate having the formula:

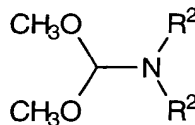


12. A process according to Claim 11 wherein said base in step (a) is a metal hydride selected from the group LiH, NaH, KH, CaH<sub>2</sub> and mixtures thereof.

13. A process according to Claim 11 wherein said base in step (a) is an inorganic base selected from the group  $\text{Na}_2\text{CO}_3$ ,  $\text{NaHCO}_3$ ,  $\text{K}_2\text{CO}$  and mixtures thereof.
14. A process according to Claim 11 wherein said base in step (a) an organic base selected from butyl lithium and lithium diisopropylamide.
15. A process according to Claim 11 wherein step (a) comprises reacting one mole of a substituted acetophenone with 2.2 moles of a base, and 2.4 moles of diethylcarbonate.
16. A process according to Claim 11 wherein step (a) is conducted in the presence of a solvent selected from the group consisting of methylene chloride, dichloromethane, hexamethylphosphoramide, tetrahydrofuran, benzene, toluene, alkanes, and mixtures thereof.
17. A process according to Claim 11 wherein said adduct is an aldehyde having the formula:



18. A process according to Claim 11 wherein said adduct is a dimethyl acetal having the formula:



wherein  $\text{R}^2$  is methyl, ethyl, and mixtures thereof.

19. A process according to Claim 11 wherein step (b) is conducted in the presence of toluene wherein said adduct is a dimethyl acetal and wherein further the admixture obtained from step (a) and said dimethyl acetal is heat to azeotropically remove any methanol which is formed.

20. A process according to Claim 11 wherein said primary amine in step (c) is selected from the group consisting of methylamine, ethylamine, and cyclopropylamine.
21. A process according to Claim 11 wherein step (c) is conducted in the presence of a solvent selected from the group consisting of methylene chloride, dichloromethane, hexamethylphosphoramide, tetrahydrofuran, benzene, toluene, alkanes, and mixtures thereof.
22. A process according to Claim 11 wherein step (d) is conducted in the presence of a solvent selected from the group consisting of methylene chloride, dichloromethane, hexamethylphosphoramide, tetrahydrofuran, benzene, toluene, alkanes, and mixtures thereof.
23. A process according to Claim 11 wherein said silylating agent is selected from the group consisting of chlorotrimethylsilane, N,O-bis(trimethylsilyl)acetamide, N,O-bis(trimethylsilyl)trifluoroacetamide, bis(trimethylsilyl)urea, hexamethyltrisilazane, N-methyl-N-trimethylsilyltrifluoroacetamide, 1-trimethylsilyl-imidazole, trimethylsilyl trifluoromethanesulfonate, *tert*-butyldimethylchlorosilane, 1-(*tert*-butyldimethylsilyl)imidazole, N-*tert*-butyldimethyl-N-methyltrifluoroacetamide, *tert*-butyldimethylsilyltrifluoromethane sulfonate, *tert*-butylphenylchlorosilane, *tert*-butyl-methoxyphenylbromosilane, dimethylphenylchlorosilane, triethylchlorosilane, trimethyl-silyl trifluoromethanesulfonate, and triphenylchlorosilane.
24. A process according to Claim 23 wherein said silylating agent is N,O-bis(trimethylsilyl)acetamide.
25. A process according to Claim 11 wherein step (d) is conducted by refluxing in the presence of a solvent.